```
     (FILE 'HOME' ENTERED AT 15:22:39 ON 30 NOV 2006)

     FILE 'REGISTRY' ENTERED AT 15:22:50 ON 30 NOV 2006
L1             STRUCTURE UPLOADED
L2           2 S L1 SSS SAM
L3          63 S L2 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:24:38 ON 30 NOV 2006
L4           8 S L3/THU
L5           1 S L4 NOT PY>2002
L6          18 S L3 NOT PY>2003
L7          11 S L6 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

     FILE 'USPATFULL' ENTERED AT 15:27:29 ON 30 NOV 2006
L8          20 S L3 NOT PY>2003
L9          18 S L8 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

     FILE 'REGISTRY' ENTERED AT 16:04:09 ON 30 NOV 2006
L10          1 S ABACAVIR/CN
L11          1 S TENOFOVIR/CN
L12          1 S RACIVIR/CN
L13          1 S RTV/CN
L14          0 S IDV/CN
L15          4 S APV/CN
L16          1 S DIDANOSINE/CN

     FILE 'CAPLUS' ENTERED AT 16:06:31 ON 30 NOV 2006
L17       2335 S L10/THU OR L11/THU OR L12/THU OR L16/THU
L18        686 S L17 NOT PY>2000
L19        593 S L18 AND (HIV)

     FILE 'CAPLUS' ENTERED AT 16:11:39 ON 30 NOV 2006
L20        599 S (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR
L21          3 S L20 AND L3
L22         10 S L20 AND DIOXOLANE
L23          3 S L22 NOT PY>2002
L24          4 S L22 NOT PY>2003

     FILE 'USPATFULL' ENTERED AT 16:16:12 ON 30 NOV 2006
L25        426 S (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR
L26          3 S L25 AND L3
```

=> file registry
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:22:50 ON 30 NOV 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 NOV 2006 HIGHEST RN 914337-13-6
DICTIONARY FILE UPDATES: 29 NOV 2006 HIGHEST RN 914337-13-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

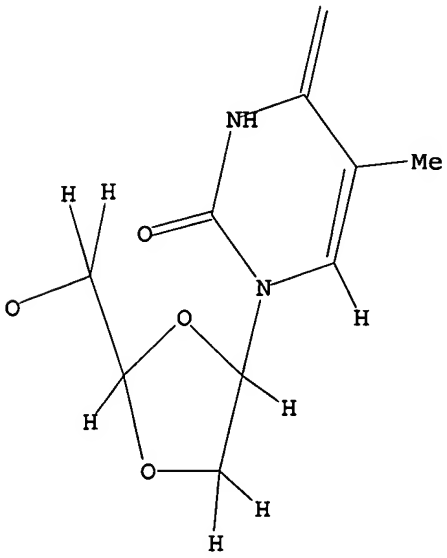
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10530088.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss sam
SAMPLE SEARCH INITIATED 15:23:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED         5 ITERATIONS                                  2 ANSWERS
SEARCH TIME: 00.00.01

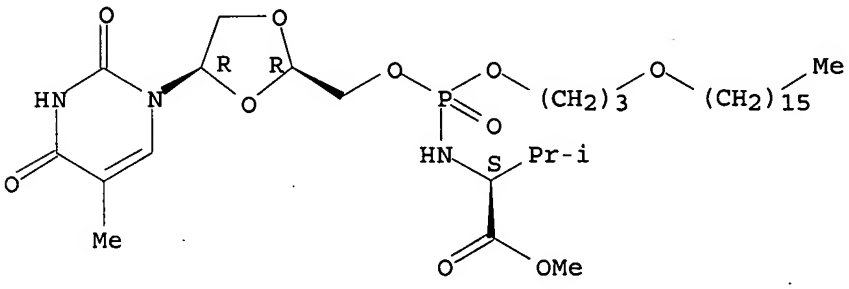
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               2 TO      124

L2             2 SEA SSS SAM L1

=> d l2 scan

L2    2 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN    L-Valine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-
      pyrimidinyl)-1,3-dioxolan-2-yl]methoxy][3-(hexadecyloxy)propoxy]phosphinyl
      ]-, methyl ester (9CI)
MF    C34 H62 N3 O10 P

Absolute stereochemistry.
```

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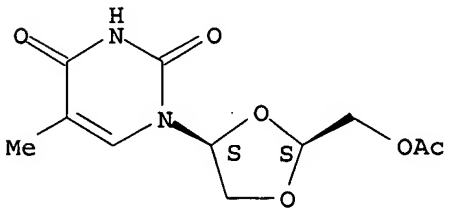
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2    2 ANSWERS   REGISTRY  COPYRIGHT 2006 ACS on STN
IN    2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[(acetyloxy)methyl]-1,3-dioxolan-
      4-yl]-5-methyl-, rel- (9CI)
MF    C11 H14 N2 O6

Relative stereochemistry.
```

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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ALL ANSWERS HAVE BEEN SCANNED

=> s l2 sss full
FULL SEARCH INITIATED 15:24:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 222 TO ITERATE

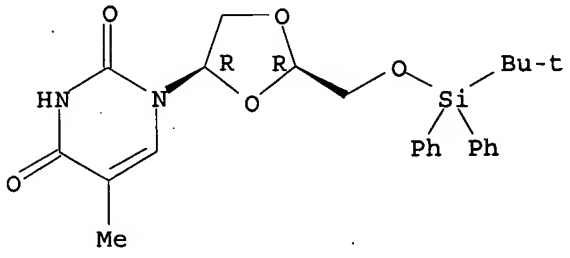
100.0% PROCESSED 222 ITERATIONS 63 ANSWERS
SEARCH TIME: 00.00.01

L3 63 SEA SSS FUL L1

=> d l3 scan

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4R)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-5-methyl- (9CI)
MF C25 H30 N2 O5 Si

Absolute stereochemistry. Rotation (-).

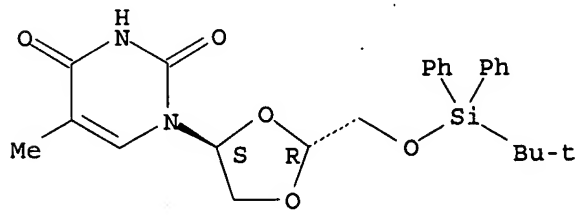


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2,4(1H,3H)-Pyrimidinedione, 1-[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-1,3-dioxolan-4-yl]-5-methyl-, trans- (9CI)
MF C25 H30 N2 O5 Si

Relative stereochemistry.

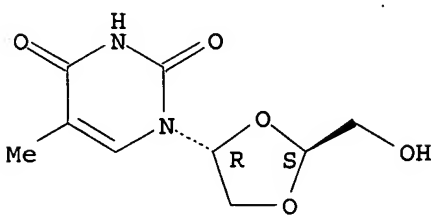


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN 2,4(1H,3H)-Pyrimidinedione, 1-[2-(hydroxymethyl)-1,3-dioxolan-4-yl]-5-methyl-, (2S-trans)- (9CI)

MF C9 H12 N2 O5

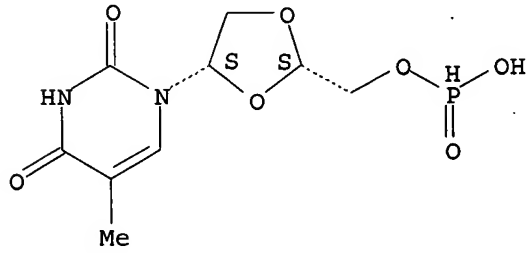
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Phosphonic acid, mono[[4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methyl] ester, cis- (9CI)
MF C9 H13 N2 O7 P

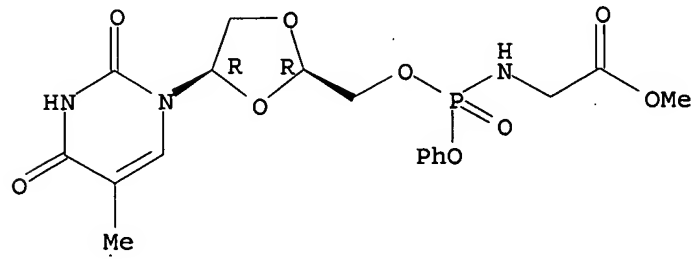
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN Glycine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methoxy]phenoxyphosphinyl]-, methyl ester (9CI)
MF C18 H22 N3 O9 P

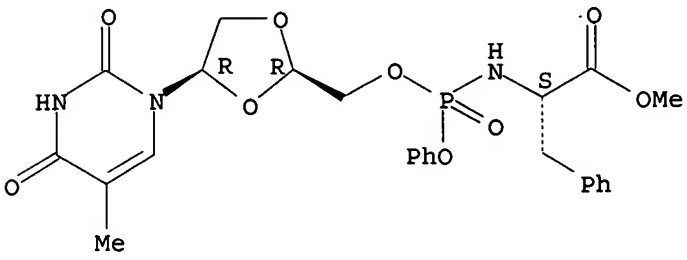
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 63 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
IN L-Phenylalanine, N-[[[(2R,4R)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1,3-dioxolan-2-yl]methoxy]phenoxyphosphinyl]-, methyl ester (9CI)
MF C25 H28 N3 O9 P

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	168.03

FILE 'CAPLUS' ENTERED AT 15:24:38 ON 30 NOV 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 30 Nov 2006 VOL 145 ISS 23
FILE LAST UPDATED: 29 Nov 2006 (20061129/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3/thu
          29 L3
      834503 THU/RL
L4   8 L3/THU
               (L3 (L) THU/RL)

=> s l4 not py>2002
     4632883 PY>2002
L5   1 L4 NOT PY>2002

```
=> d l5 ti abs bib

L5   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2006 ACS on STN
TI   Preparation of antiviral 1,3-dioxolane nucleoside analogs
AB   This invention includes the compds. 2'-deoxy-5-fluoro-3'-oxacytidines and
     pharmaceutically acceptable salts thereof for use in medical therapy, for
     example for the treatment or prophylaxis of an HIV infection (EC50 =
     0.013-0.027 μM) with cytotoxicity of (IC50 < 1 μM).
AN   1999:17124  CAPLUS
DN   130:66736
TI   Preparation of antiviral 1,3-dioxolane nucleoside analogs
IN   Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo-baeg
PA   Emory University, USA
SO   U.S., 16 pp., Cont.-in-part of U.S. 5,210,085.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 8
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   US 5852027           A     19981222    US 1993-150012          19931109
     US 5210085           A     19930511    US 1991-659760          19910222
     US 5276151           A     19940104    US 1991-803028          19911206
     WO 9214729           A1    19920903    WO 1992-US1393          19920221
         W:  AU, CA, JP, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
     AU 715577            B3    20000203    AU 1999-59571           19991119
PRAI US 1991-659760       A2    19910222
     US 1991-736089       B2    19910726
     US 1991-803028       A2    19911206
     WO 1992-US1393       W     19920221
     US 1990-473318       A2    19900201
     US 1993-15992        A     19930210
RE.CNT  61    THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s l3 not py>2003
          29 L3
     3575313 PY>2003
L6        18 L3 NOT PY>2003

=> s l6 and (HIV or (human(w)immunodeficiency))
       69212 HIV
     1688347 HUMAN
       70698 IMMUNODEFICIENCY
       59243 HUMAN(W)IMMUNODEFICIENCY
L7        11 L6 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

=> d l7 1-11 ti

L7   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2006 ACS on STN
TI   Preparation of antiviral 1,3-dioxolane nucleoside analogs

L7   ANSWER 2 OF 11  CAPLUS  COPYRIGHT 2006 ACS on STN
TI   Synthesis of dioxolane-T related nucleosides as potential anti-HIV
     agents

L7   ANSWER 3 OF 11  CAPLUS  COPYRIGHT 2006 ACS on STN
TI   High-performance liquid chromatographic determination of the isomeric
     purity of a series of dioxolane nucleoside analogs

L7   ANSWER 4 OF 11  CAPLUS  COPYRIGHT 2006 ACS on STN
TI   L-β-(2S,4S)- and L-α-(2S,4R)-dioxolanyl nucleosides as
```

potential anti-HIV agents: asymmetric synthesis and structure-activity relationships

L7 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof

L7 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses

L7 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Substrate specificity of Escherichia coli thymidine phosphorylase for pyrimidine nucleosides with anti-human immunodeficiency virus activity

L7 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.

L7 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity

L7 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV

L7 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI (±)-Dioxolane-T ((±)-1-[(2β,4β)-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV

=> d l7 1 2 4 5 6 8 9 10 11 ti abs bib

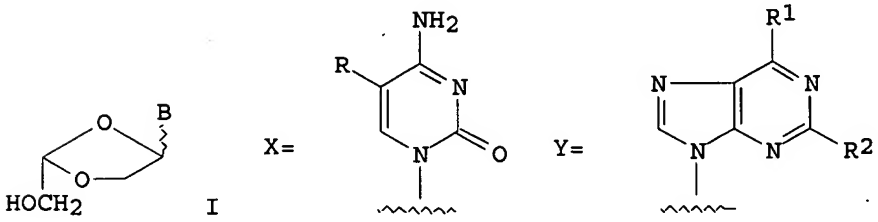
L7 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs
AB This invention includes the compds. 2'-deoxy-5-fluoro-3'-oxacytidines and pharmaceutically acceptable salts thereof for use in medical therapy, for example for the treatment or prophylaxis of an HIV infection (EC50 = 0.013-0.027 μM) with cytotoxicity of (IC50 < 1 μM).
AN 1999:17124 CAPLUS
DN 130:66736
TI Preparation of antiviral 1,3-dioxolane nucleoside analogs
IN Liotta, Dennis C.; Schinazi, Raymond F.; Choi, Woo-baeg
PA Emory University, USA
SO U.S., 16 pp., Cont.-in-part of U.S. 5,210,085.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5852027	A	19981222	US 1993-150012	19931109
	US 5210085	A	19930511	US 1991-659760	19910222
	US 5276151	A	19940104	US 1991-803028	19911206
	WO 9214729	A1	19920903	WO 1992-US1393	19920221
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 715577	B3	20000203	AU 1999-59571	19991119
PRAI	US 1991-659760	A2	19910222		
	US 1991-736089	B2	19910726		
	US 1991-803028	A2	19911206		

WO 1992-US1393 W 19920221
US 1990-473318 A2 19900201
US 1993-15992 A 19930210
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Synthesis of dioxolane-T related nucleosides as potential anti-HIV agents
AB Two new 6-azauracil dioxolane nucleosides (-)-(2R,4R)-1-(2-hydroxymethyl-1,3-dioxolan-4-yl)-6-azauracil and (+)-(2R,4S)-1-(2-hydroxymethyl-1,3-dioxolan-4-yl)-6-azauracil were prepared in ten stes from D-mannose.
AN 1995:478560 CAPLUS
DN 123:9855
TI Synthesis of dioxolane-T related nucleosides as potential anti-HIV agents
AU Yoo, Jung Man; Seo, Hee Kyung; Choi, Bo Gil; Chung, Byung Ho; Hong, Joon Hee; Chun, Moon Woo
CS Coll. Pharmacy, Chonnam Natl. Univ., Kwangju, 500-757, S. Korea
SO Yakhak Hoechi (1993), 37(6), 591-7
CODEN: YAHOA3; ISSN: 0513-4234
PB Pharmaceutical Society of Korea
DT Journal
LA Korean

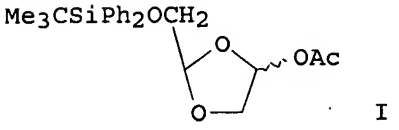
L7 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI L-β-(2S,4S)- and L-α-(2S,4R)-dioxolanyl nucleosides as potential anti-HIV agents: asymmetric synthesis and structure-activity relationships
GI



AB Various enantiomerically pure L-(2S,4S)- and L-(2S,4R)-dioxolanyl nucleosides, e.g. I (B = X, Y, R = H, Me, halo, R1 = Cl, NH2, OMe, R2 = H; R1= NH2, R2 = Cl, NH2), have been prepared and evaluated against HIV -1 in human peripheral blood mononuclear (PBM) cells. Among the compound synthesized, (-)-(2S,4S)-I (B = X, R = F) was the most potent anti-HIV activity (EC50 = 0.0012 μM) although it was toxic (EC50 = 10.0 μM). It is interesting to note that (+)-(2S,4R)-I (B = X, R = F) exhibited an excellent anti-HIV activity (EC50 = 0.063 μM) without cytotoxicity up to 100 μM in PBM cell.
AN 1993:213427 CAPLUS
DN 118:213427
TI L-β-(2S,4S)- and L-α-(2S,4R)-dioxolanyl nucleosides as potential anti-HIV agents: asymmetric synthesis and structure-activity relationships
AU Kim, Hea O.; Schinazi, Raymond F.; Shanmuganathan, Kirupathevy; Jeong, Lak S.; Beach, J. Warren; Nampalli, Satyanarayana; Cannon, Deborah L.; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Journal of Medicinal Chemistry (1993), 36(5), 519-28

CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 118:213427

L7 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof
GI



I

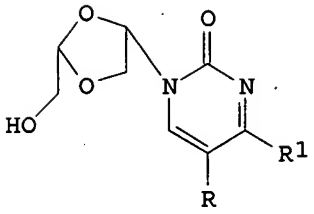
AB Title nucleosides were prepared by coupling a 2-O-protected 5-O-acyl-1,3-dioxolane with a protected purine or pyrimidine base in presence of a Ti(IV) catalyst, preferably TiCl4, TiCl2(OCHMe2)2, or TiCl3(OCHMe2). Thus, coupling the acetates I with silylated thymine gave the β- and α-nucleosides in 7:1, 10:1, and >98:2 ratio with TiCl4, TiCl3(OCHMe2), and TiCl2(OCHMe2)2 resp. The nucleosides have virucidal activity, 2'-deoxy-5-fluoro-3'-oxauridine having an in vivo anti-HIV-I ED50 of 0.0063 μM.

AN 1993:60049 CAPLUS
DN 118:60049
TI Antiviral 1,3-dioxolane nucleosides and synthesis thereof
IN Liotta, Dennis C.; Schinazi, Raymond F.
PA Emory University, USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

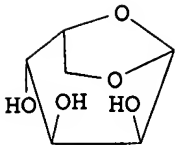
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9214729	A1	19920903	WO 1992-US1393	19920221
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5210085	A	19930511	US 1991-659760	19910222
	US 5276151	A	19940104	US 1991-803028	19911206
	AU 9214372	A1	19920915	AU 1992-14372	19920221
	US 5852027	A	19981222	US 1993-150012	19931109
	AU 715577	B3	20000203	AU 1999-59571	19991119
PRAI	US 1991-659760	A2	19910222		
	US 1991-736089	A2	19910726		
	US 1991-803028	A2	19911206		
	US 1990-473318	A2	19900201		
	WO 1992-US1393	A	19920221		
	US 1993-15992	A	19930210		

OS MARPAT 118:60049

L7 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses
GI



I



II

AB The asym. syntheses of (+)-L-β-dioxolane-T (I; R = Me, R1 = OH) and (-)-L-β-dioxolane-C (I; R= H, R1 = NH2) were accomplished starting from 1,6-anhydro-L-β-gulopyranose (II), and their anti- HIV and anti-HBV activities were evaluated in human PBM cells, CEM cells and 2.2.15 cells, resp.

AN 1993:60030 CAPLUS

DN 118:60030

TI Potent anti-HIV and anti-HBV activities of (-)-L-β-dioxolane-C and (+)-L-β-dioxolane-T and their asymmetric syntheses

AU Kim, Hea O.; Shanmuganathan, Kirupathevy; Alves, Antonio J.; Jeong, Lak S.; Beach, J. Warren; Schinazi, Raymond F.; Chang, Chien Neng; Cheng, Yung Chi; Chu, Chung K.

CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA

SO Tetrahedron Letters (1992), 33(46), 6899-902
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

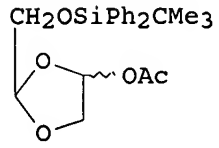
LA English

OS CASREACT 118:60030

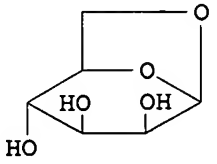
L7 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.

GI



I



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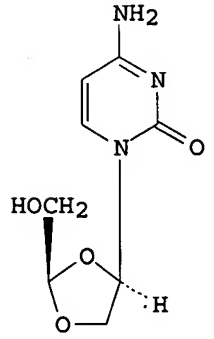

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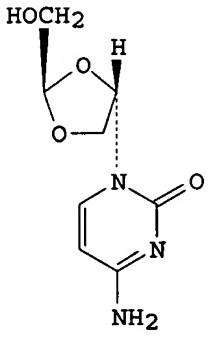


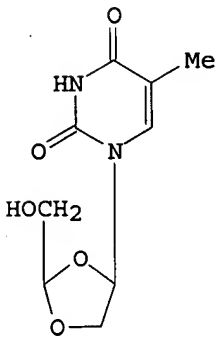
IV

AB In order to study the structure-activity relationships of dioxolane nucleosides as potential anti-HIV agents, various enantiomerically pure dioxolane-pyrimidine nucleosides were synthesized and evaluated against HIV-1 in human peripheral blood mononuclear cells. The enantiomerically pure key intermediate I was synthesized in 9 steps from 1,6-anhydro-D-mannose (II), which was

condensed with 5-substituted pyrimidines to obtain various dioxolane-pyrimidine nucleosides. Upon evaluation of these compds., cytosine derivative III was found to exhibit the most potent anti-HIV agent although it is the most toxic. The order of anti-HIV potency was as follows: cytosine (β-isomer) > thymine > cytosine (α-isomer) > 5-chlorouracil > 5-bromouracil > 5-fluorouracil derivs. Uracil, 5-methylcytosine, and 5-iodouracil derivs. were found to be inactive. Interestingly, α-isomer IV showed good anti- HIV activity without cytotoxicity. As expected, other α-isomers did not exhibit any significant antiviral activity. (-)-Dioxolane-T was 5-fold less effective against AZT-resistant virus than AZT-sensitive virus.

AN 1992:255957 CAPLUS
DN 116:255957
TI Asymmetric synthesis of 1,3-dioxolane-pyrimidine nucleosides and their anti-HIV activity.
AU Kim, Hea O.; Ahn, Soon K.; Alves, Antonio J.; Beach, J. Warren; Jeong, Lak S.; Choi, Bo G.; Van Roey, Patrick; Schinazi, Raymond F.; Chu, Chung K.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Journal of Medicinal Chemistry (1992), 35(11), 1987-95
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 116:255957

L7 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity
GI



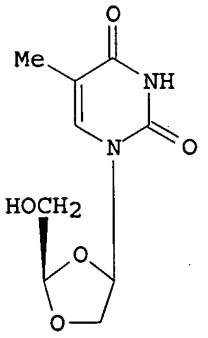
I

AB An asym. synthesis leading to the enantiomerically pure title compound (I) has been achieved and its conformation has been determined I was found to have potent and selective anti-HIV activity in primary human lymphocytes.
AN 1991:632723 CAPLUS
DN 115:232723
TI Asymmetric synthesis of enantiomerically pure (-)-(1'R,4'R)-dioxolane-thymine and its anti-HIV activity
AU Chu, Chung K.; Ahn, Soon K.; Kim, H. O.; Beach, J. Warren; Alves, Antonio J.; Jeong, Lak S.; Islam, Qamrul; Van Roey, Patrick; Schinazi, Raymond F.
CS Coll. Pharm., Univ. Georgia, Athens, GA, 30602, USA
SO Tetrahedron Letters (1991), 32(31), 3791-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English

L7 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro

activity against HIV
AB The title research of D. M. Huryn, B. C. Sluboski, SrY. Tam, L. J. Todaro, and M. Weigele (1989) and of D. W. Norbeck, S. S. Panton, S. Broder, and H. Mitsuyo (1989) is reviewed with commentary and 4 refs.
AN 1990:631785 CAPLUS
DN 113:231785
TI Synthesis of iso-ddA, member of a novel class of anti-HIV agents dioxolane-T, a new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
AU Ganem, Bruce
CS Cornell Univ., Ithaca, NY, USA
SO Chemtracts: Organic Chemistry (1990), 3(3), 249-51
CODEN: CMOCEI; ISSN: 0895-4445
DT Journal; General Review
LA English

L7 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
TI (±)-Dioxolane-T ((±)-1-[(2β,4β)-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
GI



I

AB A novel analog I of 3'-deoxythymidine, in which the 3'-carbon is replaced by oxygen, was synthesized in 5 steps from (benzyloxy)acetaldehyde di-Me acetal and Me (±)-glycerate. In ATH8 cells, I showed significant inhibition of the infectivity and cytopathic effect of HIV at a concentration of 20 μM, while the growth of the uninfected control cells was not affected by concns. as high as 200 μM. X-ray crystallog. anal. confirmed the assignment of stereochem. and established a 3T4 type conformation of the dioxolane ring.
AN 1990:424406 CAPLUS
DN 113:24406
TI (±)-Dioxolane-T ((±)-1-[(2β,4β)-2-(hydroxymethyl)-4-dioxolanyl]thymine). A new 2',3'-dideoxynucleoside prototype with in vitro activity against HIV
AU Norbeck, Daniel W.; Spanton, Stephen; Broder, Samuel; Mitsuya, Hiroaki
CS Anti-infect. Res. Div., Abbott Lab., Abbott Park, IL, 60064, USA
SO Tetrahedron Letters (1989), 30(46), 6263-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 113:24406

=> file uspatfull

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HIGHEST APPLICATION PUBLICATION NUMBER: US2006265800
CA INDEXING IS CURRENT THROUGH 28 Nov 2006 (20061128/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 28 Nov 2006 (20061128/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006


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     1168591 PY>2003
L8        20 L3 NOT PY>2003

=> s l8 and (HIV or (human(w)immunodeficiency))
       46335 HIV
      531837 HUMAN
       26144 IMMUNODEFICIENCY
       19516 HUMAN(W)IMMUNODEFICIENCY
L9        18 L8 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

=> d l9 1-18 ti

L9   ANSWER 1 OF 18  USPATFULL on STN
TI     2-Substituted-4-substituted-1,3-dioxolanes and use thereof

L9   ANSWER 2 OF 18  USPATFULL on STN
TI     SUBSTITUTED-1,3-OXATHIOLANES AND SUBSTITUTED-1,3-DIOXOLANES WITH
       ANTIVIRAL PROPERTIES

L9   ANSWER 3 OF 18  USPATFULL on STN
TI     Processes for preparing substituted 1,3-oxathiolanes with antiviral
       properties

L9   ANSWER 4 OF 18  USPATFULL on STN
TI     Enantiomerically pure β-D-dioxolane-nucleosides

L9   ANSWER 5 OF 18  USPATFULL on STN
TI     Antiviral 1,3-dioxolane nucleoside analogues

L9   ANSWER 6 OF 18  USPATFULL on STN
TI     Enantiomerically pure B--D--dioxolane nucleosides with selective
       anti-hepatitus B virus activity

L9   ANSWER 7 OF 18  USPATFULL on STN
TI     Enantiomerically pure β-di-dioxolane-nucleosides with selective
       anti-hepatitis B virus activity

L9   ANSWER 8 OF 18  USPATFULL on STN
TI     Enantiomerically pure β-d-dioxolane nucleosides

L9   ANSWER 9 OF 18  USPATFULL on STN
TI     Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-
       thiacytidine and related compounds
```

L9 ANSWER 10 OF 18 USPATFULL on STN
TI Processes for preparing substituted 1,3-oxathiolanes with antiviral properties

L9 ANSWER 11 OF 18 USPATFULL on STN
TI Enantiomerically pure β-D-dioxolane nucleosides with selective anti-hepatitis B virus activity

L9 ANSWER 12 OF 18 USPATFULL on STN
TI 4-(purinyl bases)-substituted-1,3-dioxlanes

L9 ANSWER 13 OF 18 USPATFULL on STN
TI Process for enantiomerically pure β-L-1,3-oxathiolane nucleosides

L9 ANSWER 14 OF 18 USPATFULL on STN
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds

L9 ANSWER 15 OF 18 USPATFULL on STN
TI Process for the preparation of enantiomerically pure β-D-(-)-dioxolane-nucleosides

L9 ANSWER 16 OF 18 USPATFULL on STN
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties

L9 ANSWER 17 OF 18 USPATFULL on STN
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties

L9 ANSWER 18 OF 18 USPATFULL on STN
TI 4-(nucleoside base)-substituted-1,3-dioxolanes useful for treatment of retroviral infections

=> d 19 1 2 5 6 7 8 10 11 13 15 16 17 18 ti bas bib
'BAS' IS NOT A VALID FORMAT FOR FILE 'USPATFULL'

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FP.EX ------ FP for original and latest publication
FPALL ------ PI, TI, IN, INA, PA, PAA, PAT, PETRM, DCD, AI, RLI, PRAI, IC, IPCI, IPCI-2, IPCR, INCL, INCLM, INCLS, NCL, NCLM, NCLS, EXF, REP, REN, ARTU, EXNAM, LREP, CLMN, DRWN, AB,

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             PARN, SUMM, DRWD, DETD, CLM
FPBIB ------ PI, TI, IN, INA, PA, PAA, PAT, PTERM, DCD, AI,
             RLI, PRAI, REP, REN, EXNAM, LREP, CLM, CLMN, DRWN
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             its structure diagram
FPG -------- FP plus PAGE.DRAW
GI --------- PN and page image numbers
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HITRN ------ HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
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IALL ------- ALL, indented with text labels
IALLG ------ IALL plus PAGE.DRAW
IBIB ------- BIB, indented with text labels
IBIB.EX ---- IBIB for original and latest publication
IBIBG ------ IBIB plus PAGE.DRAW
IMAX ------- MAX, indented with text labels
IMAX.EX ---- IMAX for original and latest publication
IND -------- INCL, INCLM, INCLS, NCL, NCLM, NCLS, IC, IPCI, IPCI-2, IPCR,
             EXF, ARTU, OS, CC, SX, ST, IT
IPC.TAB ---- IPC in tabular format
ISTD ------- STD, indented with text labels
KWIC ------- All hit terms plus 20 words on either side
MAX -------- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, PTERM, DCD,
             RLI, PRAI, DT, FS, REP, REN, EXNAM, LREP, CLMN, ECL,
             DRWN, AB, GOVI, PARN, SUMM, DRWD, DETD, CLM, INCL,
             INCLM, INCLS, NCL, NCLM, NCLS, IC, IPCI, IPCI-2,
             IPCR, EXF, ARTU OS, CC, SX, ST, IT
MAX.EX ----- MAX for original and latest publication
OCC -------- List of display fields containing hit terms
SBIB ------- AN, TI, IN, INA, PA, PAA, PAT, PI, AI, RLI, PRAI,
             DT, FS, LN.CNT
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             DT, FS, LN.CNT, INCL, INCLM, INCLS, NCL, NCLM, NCLS,
             IC, IPCI, IPCI-2, IPCR, EXF (STD is the default)
STD.EX ----- STD for original and latest publication
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             IPCI, IPCI-2, IPCR

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             without answer number.  SCAN must be entered on the
             same line as DISPLAY, e.g., D SCAN)
ENTER DISPLAY FORMAT (STD):ti abs bib

L9   ANSWER 1 OF 18  USPATFULL on STN
TI     2-Substituted-4-substituted-1,3-dioxolanes and use thereof
AB     Nucleoside analogues containing a 1,3-dioxolane structure are suitable
       antiviral agents, particulary for the treatment of the HIV
       infections in mammals, especially humans. Examples of the nucleoside
       analogues include:

       cis-2-acetoxymethyl-4-(thymin-1'-yl)-1,3,-dioxolane,

       cis-2-hydroxymethyl-4-(thymin-1'-yl)-1,3-dioxolane,

       cis-2-benzoyloxymethyl-4-(cytosin-1'-yl)-1,3-dioxolane, and

       cis-2-hydroxymethyl-4-(cytosin-1'-yl)-1,3-dioxolane.

       These compounds can be in the form of their racemates or their separate
       enantiomers.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

AN 2002:39929 USPATFULL
TI 2-Substituted-4-substituted-1,3-dioxolanes and use thereof
IN Belleau, Bernard, late of Westmount, CANADA deceased
Pierette Belleau, United States executrix
Dixit, Dilip M., Roxboro, CANADA
Nguyen-Ba, Paul, La Prairie, CANADA
PA Biochem Pharma Inc., Quebec, CANADA (non-U.S. corporation)
PI US 6350753 B1 20020226
AI US 1998-163374 19980930 (9)
RLI Continuation of Ser. No. US 1994-306830, filed on 15 Sep 1994 Division of Ser. No. US 1991-666045, filed on 7 Mar 1991, now patented, Pat. No. US 5270315 Continuation of Ser. No. US 1990-564160, filed on 7 Aug 1990, now abandoned Continuation-in-part of Ser. No. US 1990-546676, filed on 29 Jun 1990, now patented, Pat. No. US 5041449 Continuation-in-part of Ser. No. US 1989-308101, filed on 8 Feb 1989, now patented, Pat. No. US 5047407 Continuation of Ser. No. US 1988-179165, filed on 11 Apr 1988, now abandoned
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie, Thomas
LREP Millen, White, Zelano & Branigan, P.C.
CLMN Number of Claims: 39
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2565
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 18 USPATFULL on STN
TI SUBSTITUTED-1,3-OXATHIOLANES AND SUBSTITUTED-1,3-DIOXOLANES WITH ANTIVIRAL PROPERTIES
AB Disclosed are compounds of the formula

wherein

R.sub.1 is hydrogen or an acyl group having 1 to 16 carbon atoms;

R.sub.2 is a purine or pyrimidine base or an analogue or derivative thereof;

Z is O, S, S.dbd.O or SO.sub.2; and

pharmaceutically acceptable derivatives thereof.

Also described are processes for and intermediates of use in their preparation, pharmaceutical compositions containing these compounds, and the use of these compounds in the antiviral treatment of mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2001:150577 USPATFULL
TI SUBSTITUTED-1,3-OXATHIOLANES AND SUBSTITUTED-1,3-DIOXOLANES WITH ANTIVIRAL PROPERTIES
IN BELLEAU, BERNARD, QUEBEC, Canada
BELLEAU, PIERETTE, QUEBEC, Canada LR
NGUYEN-BA, NGHE, QUEBEC, Canada
PI US 2001020026 A1 20010906
AI US 1998-172848 A1 19981015 (9)
RLI Continuation-in-part of Ser. No. US 1994-306830, filed on 15 Sep 1994, PENDING Continuation of Ser. No. US 1990-564160, filed on 7 Aug 1990, ABANDONED
DT Utility
FS APPLICATION
LREP MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201

CLMN Number of Claims: 37
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2475
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 18 USPATFULL on STN
TI Antiviral 1,3-dioxolane nucleoside analogues
AB This invention includes the compounds 2'-deoxy-5-fluoro-3'-oxacytidine, (-)-2'-deoxy-5-fluoro-3'-oxacytidine, and (+)-2'-deoxy-5-fluoro-3+-oxacytidine, and pharmaceutically acceptable salts thereof for use in medical therapy, for example for the treatment or prophylaxis of an HIV infection.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 1998:159957 USPATFULL
TI Antiviral 1,3-dioxolane nucleoside analogues
IN Liotta, Dennis C., McDonough, GA, United States
Schinazi, Raymond F., Decatur, GA, United States
Choi, Woo-Baeg, North Brunswick, NJ, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
PI US 5852027 19981222
WO 9214729 19920903
AI US 1993-150012 19931109 (8)
WO 1992-US1393 19920221
19931109 PCT 371 date
19931109 PCT 102(e) date
RLI Continuation-in-part of Ser. No. US 1991-659760, filed on 22 Feb 1991, now patented, Pat. No. US 5210085 Ser. No. Ser. No. US 1991-803028, filed on 6 Dec 1991, now patented, Pat. No. US 5276151 And Ser. No. US 1991-736089, filed on 26 Jul 1991, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Kight, John; Assistant Examiner: Crane, L. Eric
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding
CLMN Number of Claims: 7
ECL Exemplary Claim: 1,4,5
DRWN 2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1305
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 6 OF 18 USPATFULL on STN
TI Enantiomerically pure B--D--dioxolane nucleosides with selective anti-hepatitus B virus activity
AB A method and composition for the treatment of humans infected with HBV that includes the administration of an HBV treatment amount of a β-dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 1998:138907 USPATFULL
TI Enantiomerically pure B--D--dioxolane nucleosides with selective anti-hepatitus B virus activity
IN Schinazi, Raymond F., Decatur, GA, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
PI US 5834474 19981110
AI US 1997-839713 19970415 (8)
RLI Division of Ser. No. US 1995-471533, filed on 6 Jun 1995, now patented, Pat. No. US 5684010 which is a division of Ser. No. US 1992-967460, filed on 28 Oct 1992, now patented, Pat. No. US 5444063 which is a continuation-in-part of Ser. No. US 1992-935515, filed on 25 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US

1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104
DT Utility
FS Granted
EXNAM Primary Examiner: Lambkin, Deborah C.; Assistant Examiner: Lutz, Laura R.C.
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding
CLMN Number of Claims: 76
ECL Exemplary Claim: 1
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)
LN.CNT 1204
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 7 OF 18 USPATFULL on STN
TI Enantiomerically pure β-di-dioxolane-nucleosides with selective anti-hepatitis B virus activity
AB A method and composition for the treatment of humans infected with HBV that includes the administration of an HBV treatment amount of a β-dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 1998:135044 USPATFULL
TI Enantiomerically pure β-di-dioxolane-nucleosides with selective anti-hepatitis B virus activity
IN Schinazi, Raymond F., Decatur, GA, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
PI US 5830898 19981103
AI US 1997-838072 19970415 (8)
RLI Division of Ser. No. US 1995-471533, filed on 6 Jun 1995, now patented, Pat. No. US 5684010 which is a division of Ser. No. US 1992-967460, filed on 28 Oct 1992, now patented, Pat. No. US 5444063 which is a continuation-in-part of Ser. No. US 1992-935515, filed on 25 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104
DT Utility
FS Granted
EXNAM Primary Examiner: Lambkin, Deborah C.; Assistant Examiner: Lutz, Laura R. C.
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)
LN.CNT 1134
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 8 OF 18 USPATFULL on STN
TI Enantiomerically pure β-d-dioxolane nucleosides
AB A method and composition for the treatment of humans infected with HIV that includes the administration of an HIV treatment amount of an enantiomerically pure β-D-dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 1998:69040 USPATFULL
TI Enantiomerically pure β-d-dioxolane nucleosides
IN Chu, Chung K., Athens, GA, United States
Schinazi, Raymond F., Decatur, GA, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
The University of Georgia Research Foundation, Inc., Athens, GA, United States (U.S. corporation)

PI US 5767122 19980616
AI US 1995-469465 19950606 (8)
RLI Division of Ser. No. US 1992-935515, filed on 25 Aug 1992 which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Qazi, Sabiha N.
LREP Knowles, Sherry M., Haley, JacquelineKing & Spalding
CLMN Number of Claims: 13
ECL Exemplary Claim: 1
DRWN 3 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 1081
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 10 OF 18 USPATFULL on STN
TI Processes for preparing substituted 1,3-oxathiolanes with antiviral properties
AB Disclosed are processes for preparing compounds of the formula (I) and pharmaceutically acceptable salts or esters thereof: ##STR1## wherein R.sub.2 is a purine or pyrimidine base or an analogue or derivative thereof; and Z is S, S.dbd.O or SO.sub.2. The invention also relates to intermediates of use in the preparation of these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 97:101914 USPATFULL
TI Processes for preparing substituted 1,3-oxathiolanes with antiviral properties
IN Belleau, deceased, Bernard, late of Westmount, Canada by Pierrette Belleau, executrix
Mansour, Tarek, Montreal, Canada
Tse, Allan, Ville St-Laurent, Canada
Evans, Colleen A., Montreal, Canada
Jin, Haolun, Pierrefonds, Canada
Zacharie, Boulos, Laval des Rapides, Canada
Nguyen-Ba, Nghe, La Prairie, Canada
PA BioChem Pharma Inc., Laval, Canada (non-U.S. corporation)
PI US 5684164 19971104
AI US 1995-468548 19950606 (8)
RLI Division of Ser. No. US 1993-40163, filed on 29 Mar 1993, now patented, Pat. No. US 5466806 which is a continuation-in-part of Ser. No. US 1990-564160, filed on 7 Aug 1990, now abandoned which is a continuation-in-part of Ser. No. US 1989-308101, filed on 8 Feb 1989, now patented, Pat. No. US 5047407 And Ser. No. US 1990-546676, filed on 29 Jun 1990, now patented, Pat. No. US 5041449 which is a continuation of Ser. No. US 1988-179615, filed on 11 Apr 1988, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Ford, John M.
LREP Fish & Neave, Haley, Jr., James F., McDonell, Leslie A.
CLMN Number of Claims: 2
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1245
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 11 OF 18 USPATFULL on STN
TI Enantiomerically pure β-D-dioxolane nucleosides with selective anti-hepatitis B virus activity
AB A method and composition for the treatment of humans infected with HBV that includes the administration of an HBV treatment amount of a β-dioxolanyl purine nucleoside of the formula: ##STR1## wherein R is OH, Cl, NH.sub.2, or H, or a pharmaceutically acceptable salt or derivative of the compound, optionally in a pharmaceutically acceptable

carrier or diluent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 97:101764 USPATFULL
TI Enantiomerically pure β-D-dioxolane nucleosides with selective anti-hepatitis B virus activity
IN Schinazi, Raymond F., Decatur, GA, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
PI US 5684010 19971104
AI US 1995-471533 19950606 (8)
RLI Division of Ser. No. US 1992-967460, filed on 28 Oct 1992, now patented, Pat. No. US 5444063 which is a continuation-in-part of Ser. No. US 1992-935515, filed on 25 Aug 1992 which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990, now patented, Pat. No. US 5179104
DT Utility
FS Granted
EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Cross, Laura R.
LREP Knowles, Esq., Sherry M.King & Spalding
CLMN Number of Claims: 40
ECL Exemplary Claim: 1
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)
LN.CNT 1125
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 13 OF 18 USPATFULL on STN
TI Process for enantiomerically pure β-L-1,3-oxathiolane nucleosides
AB An asymmetric process for the preparation of enantiomerically pure β-L-(-)-1,3-oxathiolane-nucleosides that includes the initial preparation of the key chiral intermediates (2R,5R) and (2R,5S)-5-(O-protected)-2-(protected-oxymethyl)-1,3-oxathiolane from 1,6-thioanhydro-L-gulose. The 2R,5(R,S)-5-(O-protected)-2-(protected-oxymethyl)-1,3-oxathiolane is condensed with a desired heterocyclic base, typically a purine or pyrimidine base, to provide the product nucleoside. The synthesis can be used to prepare the pharmaceutically important compound, β-L-(-)-1-[(2β,4β)-2-(hydroxymethyl)-4-(1,3-thioxolane)]cytosine (β-L-(-)BCH-189).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 93:80847 USPATFULL
TI Process for enantiomerically pure β-L-1,3-oxathiolane nucleosides
IN Chu, Chung K., Athens, GA, United States
Jeong, Lak-Shin, Athens, GA, United States
Beach, J. Warren, Athens, GA, United States
PA University of Georgia Research Foundation, Inc., Athens, GA, United States (U.S. corporation)
PI US 5248776 19930928
AI US 1991-803086 19911205 (7)
RLI Continuation-in-part of Ser. No. US 1991-699197, filed on 13 May 1991 which is a continuation-in-part of Ser. No. US 1990-622762, filed on 5 Dec 1990
DT Utility
FS Granted
EXNAM Primary Examiner: Tsang, Cecilia
LREP Kilpatrick & Cody
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN 4 Drawing Figure(s); 4 Drawing Page(s)
LN.CNT 791
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 15 OF 18 USPATFULL on STN
TI Process for the preparation of enantiomerically pure β-D-(-)-dioxolane-nucleosides

AB An asymmetric process for the preparation of enantiomerically pure β-D-(-)-dioxolane-nucleosides. The enantiomerically pure dioxolane nucleosides are active HIV agents, that are significantly more effective than the prior prepared racemic mixtures of the nucleosides. The anti-viral activity of the compounds is surprising in light of the generally accepted theory that moieties in the endo conformation, including these dioxolanes, are not effective antiviral agents. The toxicity of the enantiomerically pure dioxolane nucleosides is lower that that of the racemic mixture of the nucleosides, because the nonnaturally occurring α-isomer is not included.

The product can be used as a research tool to study the inhibition of HIV in vitro or can be administered in a pharmaceutical composition to inhibit the growth of HIV in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 93:3585 USPATFULL
TI Process for the preparation of enantiomerically pure β-D-(-)-dioxolane-nucleosides
IN Chu, Chung K., Athens, GA, United States
Schinazi, Raymond F., Decatur, GA, United States
PA University of Georgia Research Foundation, Inc., Athens, GA, United States (U.S. corporation)
PI US 5179104 19930112
AI US 1990-622762 19901205 (7)
DT Utility
FS Granted
EXNAM Primary Examiner: Shen, Cecilia
LREP Kilpatrick & Cody
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN 2 Drawing Figure(s); 3 Drawing Page(s)
LN.CNT 765
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 16 OF 18 USPATFULL on STN
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties
AB Methods and compositions for preventing or treating human immunodeficiency virus (HIV) infections characterized by 2-substituted-5-substituted-1,3-oxathiolanes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 92:80825 USPATFULL
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties
IN Belleau, Bernard, Westmount, Canada
Nguyen-Ba, Nghe, Brossard, Canada
PA BioChem Pharma Inc., Laval, Canada (non-U.S. corporation)
PI US 5151426 19920929
AI US 1991-716627 19910617 (7)
RLI Division of Ser. No. US 1989-308101, filed on 8 Feb 1989, now patented, Pat. No. US 5047407
DT Utility
FS Granted
EXNAM Primary Examiner: Tsang, Cecilia
LREP Haley, Jr., James F., McDonell, Leslie A.
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 530
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 17 OF 18 USPATFULL on STN
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties
AB Methods and compositions for preventing or treating human

immunodeficiency virus (HIV) infections characterized by 2-substituted-5-substituted-1,3-oxathiolanes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 91:73359 USPATFULL
TI 2-substituted-5-substituted-1,3-oxathiolanes with antiviral properties
IN Belleau, Bernard, Westmount, Canada
Nguyen-Ba, Nghe, Brossard, Canada
PA IAF BioChem International, Inc., Montreal, Canada (non-U.S. corporation)
PI US 5047407 19910910
AI US 1989-308101 19890208 (7)
DT Utility
FS Granted
EXNAM Primary Examiner: Rivers, Diana G.
LREP Haley, Jr., James F., McDonell, Leslie A.
CLMN Number of Claims: 13
ECL Exemplary Claim: 1,6
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)
LN.CNT 568
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 18 OF 18 USPATFULL on STN
TI 4-(nucleoside base)-substituted-1,3-dioxolanes useful for treatment of retroviral infections
AB There are provided novel 2-substituted-4-substituted-1,3-dioxolanes which are particularly useful as antiviral agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 91:66802 USPATFULL
TI 4-(nucleoside base)-substituted-1,3-dioxolanes useful for treatment of retroviral infections
IN Belleau, Bernard, Westmount, Canada
Dixit, Dilip, Roxboro, Canada
Nguyen-Ba, Nghe, La Prairie, Canada
PA IAF BioChem International, Inc., Montreal, Canada (non-U.S. corporation)
PI US 5041449 19910820
AI US 1990-546676 19900629 (7)
RLI Continuation of Ser. No. US 1988-179615, filed on 11 Apr 1988, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Rivers, Diana
LREP Haley, Jr., James F., McDonell, Leslie A.
CLMN Number of Claims: 6
ECL Exemplary Claim: 1,6
DRWN No Drawings
LN.CNT 655
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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=> s (XXBRU or K65R or M184V or L74V or 4Xazt or t215y or k103n or t215y/m184v or
(5705-72) or (488-101) or (C910-6) or la1m184v or (G910-6)) and (HIV or (Human
immunodeficiency virus))
'M184V' IS NOT A VALID FIELD CODE
             1 XXBRU
           125 K65R
           301 M184V
            63 L74V
             0 4XAZT
           137 T215Y
           194 K103N
             0 T215Y/M184V
           239 5705
        259480 72
             1 5705-72
                 (5705(W)72)
          8733 488
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          86304 101
              0 488-101
                  (488(W)101)
              2 C910
        3808630 6
              0 C910-6
                  (C910(W)6)
              0 LA1M184V
              4 G910
        3808630 6
              3 G910-6
                  (G910(W)6)
          69212 HIV
        1688347 HUMAN
          70698 IMMUNODEFICIENCY
         351308 VIRUS
          52072 HUMAN IMMUNODEFICIENCY VIRUS
                  (HUMAN(W)IMMUNODEFICIENCY(W)VIRUS)
L20         599 (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR
                T215Y/M184V OR (5705-72) OR (488-101) OR (C910-6) OR LA1M184V
                OR (G910-6)) AND (HIV OR (HUMAN IMMUNODEFICIENCY VIRUS))

=> d his

     (FILE 'HOME' ENTERED AT 15:22:39 ON 30 NOV 2006)

     FILE 'REGISTRY' ENTERED AT 15:22:50 ON 30 NOV 2006
L1                STRUCTURE UPLOADED
L2              2 S L1 SSS SAM
L3             63 S L2 SSS FULL

     FILE 'CAPLUS' ENTERED AT 15:24:38 ON 30 NOV 2006
L4              8 S L3/THU
L5              1 S L4 NOT PY>2002
L6             18 S L3 NOT PY>2003
L7             11 S L6 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

     FILE 'USPATFULL' ENTERED AT 15:27:29 ON 30 NOV 2006
L8             20 S L3 NOT PY>2003
L9             18 S L8 AND (HIV OR (HUMAN(W)IMMUNODEFICIENCY))

     FILE 'REGISTRY' ENTERED AT 16:04:09 ON 30 NOV 2006
L10             1 S ABACAVIR/CN
L11             1 S TENOFOVIR/CN
L12             1 S RACIVIR/CN
L13             1 S RTV/CN
L14             0 S IDV/CN
L15             4 S APV/CN
L16             1 S DIDANOSINE/CN

     FILE 'CAPLUS' ENTERED AT 16:06:31 ON 30 NOV 2006
L17          2335 S L10/THU OR L11/THU OR L12/THU OR L16/THU
L18           686 S L17 NOT PY>2000
L19           593 S L18 AND (HIV)

     FILE 'CAPLUS' ENTERED AT 16:11:39 ON 30 NOV 2006
L20           599 S (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR

=> s l20 and l3
            29 L3
L21          3 L20 AND L3

=> d l21 1-3 ti abs bib
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L21 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
TI Phosphoramidate and phosphate prodrugs of (-)-β-D-(2R,4R)-dioxolane-thymine: Synthesis, anti-HIV activity and stability studies
AB A series of phosphoramidate and phosphate prodrugs of DOT were synthesized via dichlorophosphate or H-phosphonate chemical and evaluated for their anti-HIV activity against LAI M184V mutants in PBM cells as well as for their cytotoxicity. The antiviral and cytotoxic profiles of the prodrugs were compared with that of the parent compound (DOT), and it was found that four aryl phosphoramidates showed a significant enhancement (8- to 12-fold) in anti-HIV activity without cytotoxicity. Chemical stability of these prodrugs was evaluated in phosphate buffer at pH values of biol. relevance (i.e., pH 2.0 and 7.4). Enzymic hydrolysis was also studied in esterase or lipase in buffer solution Chemical stability studies indicate that the phosphoramidates have good chemical stability at pH 2.0 and at pH 7.4 phosphate buffer. Phosphoramidate prodrugs were hydrolyzed in vitro by esterase or lipase and found to be better substrates for lipases than for esterases. 1,3-Diol cyclic phosphates showed potent anti-HIV activity without increasing the cytotoxicity compared with that of DOT and have good chemical and enzymic stability. Long-chain lipid phosphates, although showed potent anti-HIV activity, exhibited increased cytotoxicity.
AN 2006:156931 CAPLUS <<LOGINID::20061130>>
DN 144:403761
TI Phosphoramidate and phosphate prodrugs of (-)-β-D-(2R,4R)-dioxolane-thymine: Synthesis, anti-HIV activity and stability studies
AU Liang, Yuzeng; Narayanasamy, Janarthanan; Schinazi, Raymond F.; Chu, Chung K.
CS The University of Georgia, College of Pharmacy, Athens, GA, 30602, USA
SO Bioorganic & Medicinal Chemistry (2006), 14(7), 2178-2189
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier B.V.
DT Journal
LA English
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
TI Anti-HIV Activity of (-)-(2R,4R)-1- (2-Hydroxymethyl-1,3-dioxolan-4-yl)thymine against Drug-Resistant HIV-1 Mutants and Studies of Its Molecular Mechanism
AB (-)-(2R,4R)-1-(2-Hydroxymethyl-1,3-dioxolan-4-yl)thymine (DOT) is the first thymidine kinase-activated nucleoside that is significantly active against all of the clin. significant NRTI-resistant HIV-1 mutants, including AZT (D67N/K70R/T215Y/K219Q), Tenofovir (K65R), and Lamivudine (M184V). To understand the mol. mechanism of drug resistance and the antiviral activity of DOT against drug-resistant RTs, mol. modeling studies of DOT-TP complexed with the wild-type (WT) and mutated RT were conducted. The key reason for this interesting antiviral activity profile is the presence of a dioxolane ring.
AN 2005:421890 CAPLUS <<LOGINID::20061130>>
DN 143:90249
TI Anti-HIV Activity of (-)-(2R,4R)-1- (2-Hydroxymethyl-1,3-dioxolan-4-yl)thymine against Drug-Resistant HIV-1 Mutants and Studies of Its Molecular Mechanism
AU Chu, Chung K.; Yadav, Vikas; Chong, Youhoon H.; Schinazi, Raymond F.
CS College of Pharmacy, University of Georgia, Athens, GA, 30602, USA
SO Journal of Medicinal Chemistry (2005), 48(12), 3949-3952
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
TI Molecular mechanism of dioxolane nucleosides against 3TC resistant M184V mutant HIV
AB The mutation and resultant adaptability of HIV-1 reverse transcriptase (RT) present a major challenge to the design of the effective antiviral strategies because many initially potent drugs lose efficacy over time. Even though there is an urgent need for a comprehensive understanding of the mol. mechanism of anti-HIV drug resistance by mutant RTs, the unavailability of the structural information of the mutant RTs has prevented detailed investigations. In this study, the active site of the 3TC-resistant (M184V) RT is constructed by a computational method, which clearly shows that the side chain of Val184 occupies the binding site for the nucleoside triphosphates. Therefore, the distance between the side chain of Val184 and the sugar moiety of the nucleoside triphosphate must be closely related to the cross-resistance by M184V RT. The natural substrates, 2'-deoxyribo nucleoside triphosphates, escape from the steric stress from the bulky side chain of Val184 by virtue of the d-sugar conformation as well as the interaction of its 3'-OH group with Tyr115, which locates the nucleoside triphosphate out of the clashing distance from Val184. Similarly, the energy-minimized structures of various d-dioxolane nucleoside triphosphates (TP)/RT complexes indicate that the d-dioxolane sugar moiety acquires enough distance from Val184 due to the specific interaction of its 3'-oxygen atom with the nearby enzyme residues such as Tyr115 and Arg72.
AN 2004:489072 CAPLUS <<LOGINID::20061130>>
DN 141:218359
TI Molecular mechanism of dioxolane nucleosides against 3TC resistant M184V mutant HIV
AU Chong, Youhoon; Chu, Chung K.
CS Department of Pharmaceutical and Biomedical Sciences, College of Pharmacy, The University of Georgia, Athens, GA, 30602, USA
SO Antiviral Research (2004), 63(1), 7-13
CODEN: ARSRDR; ISSN: 0166-3542
PB Elsevier Science B.V.
DT Journal
LA English

=> s l20 and dioxolane
15321 DIOXOLANE
L22 10 L20 AND DIOXOLANE

=> s l22 not py>2002
4632883 PY>2002
L23 3 L22 NOT PY>2002

=> s l22 not py>2003
3575313 PY>2003
L24 4 L22 NOT PY>2003

=> d l24 1-4 ti abs bib

L24 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
TI Dioxolane Guanosine 5'-Triphosphate, an Alternative Substrate Inhibitor of Wild-type and Mutant HIV-1 Reverse Transcriptase: STEADY STATE AND PRE-STEADY STATE KINETIC ANALYSES
AB The frequency of human immunodeficiency virus , type 1 (HIV-1) mutations in response to antiviral therapy and resulting drug resistance is of major concern. Amdoxovir ((-)-β-D-2,6-diaminopurine dioxolane), the prodrug of dioxolane guanosine (DXG), is currently in phase I/II clin. development for the treatment of HIV-1 infection. In vitro,

HIV-1 mutants resistant to 3'-azido-3'-deoxythymidine (M41L/D67N/K70R/T215Y/K219Q) and (-)β-L-2',3'-dideoxy-3'-thiacytidine (3TC) (M184V) remain sensitive to DXG. HIV -1 with the reverse transcriptase mutations K65R, L74V , and/or Q151M were less sensitive to DXG, whereas the mutation K103N re-sensitized the virus to the inhibitory effect of DXG. In order to understand these observations at the enzyme level, we investigated the inhibition of the HIV-1 reverse transcriptase-catalyzed viral DNA synthesis by dioxolane GTP (DXG-TP), 3'-azido-3'-deoxythymidine-TP, and 3TC-TP by using steady state kinetic anal. and the incorporation of DXG-5'-monophosphate by using pre-steady state kinetic anal. This mechanistic study provided detailed information on the amdoxovir-related drug resistance at a mol. level. Overall, the enzymic data correlated well with the antiviral data obtained from cell culture expts. and further supported the use of amdoxovir for the treatment of nucleoside reverse transcriptase inhibitor-experienced patients.

AN 2003:380702 CAPLUS <<LOGINID::20061130>>
DN 139:190691
TI Dioxolane Guanosine 5'-Triphosphate, an Alternative Substrate Inhibitor of Wild-type and Mutant HIV-1 Reverse Transcriptase: STEADY STATE AND PRE-STEADY STATE KINETIC ANALYSES
AU Jeffrey, Jerry L.; Feng, Joy Y.; Qi, C. C. Richard; Anderson, Karen S.; Furman, Phillip A.
CS Gilead Sci., Triangle Pharm., Inc., Durham, NC, 27717, USA
SO Journal of Biological Chemistry (2003), 278(21), 18971-18979
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
TI Molecular mechanisms of resistance to human immunodeficiency virus type 1 with reverse transcriptase mutations K65R and K65R + M184V and their effects on enzyme function and viral replication capacity
AB Human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT) resistance mutations K65R and M184V result in changes in susceptibility to several nucleoside and nucleotide RT inhibitors. K65R-containing viruses showed decreases in susceptibility to tenofovir, didanosine (ddI), abacavir, and (-)-β-D- dioxolane guanosine (DXG; the active metabolite of amdoxovir) but appeared to be fully susceptible to zidovudine and stavudine in vitro. Viruses containing the K65R and M184V mutations showed further decreases in susceptibility to ddI and abacavir but increased susceptibility to tenofovir compared to the susceptibilities of viruses with the K65R mutation. Enzymic and viral replication analyses were undertaken to elucidate the mechanisms of altered drug susceptibilities and potential fitness defects for the K65R and K65R + M184V mutants. The relative inhibitory capacities (Ki/Km) of the active metabolites of tenofovir, ddI, and DXG were increased for the RT containing the K65R mutation compared to that for the wild-type RT, but the relative inhibitory capacity of abacavir was only minimally increased. For the mutant viruses with the K65R and M184V mutations, the increase in tenofovir susceptibility compared to that of the mutants with K65R correlated with a decrease in the tenofovir inhibitory capacity that was mediated primarily by an increased Km of dATP. The decrease in susceptibility to ddI by mutants with the K65R and M184V mutations correlated with an increase in the inhibitory capacity mediated by an increased Ki. ATP-mediated removal of carbovir as well as small increases in the inhibitory capacity of carbovir appear to contribute to

the resistance of mutants with the K65R mutation and the mutants with the K65R and M184V mutations to abacavir. Finally, both the HIV-1 K65R mutant and, more notably, the HIV-1 K65R + M184V double mutant showed reduced replication capacities and reduced RT processivities in vitro, consistent with a potential fitness defect in vivo and the low prevalence of the K65R mutation among isolates from antiretroviral agent-experienced patients.

AN 2002:828766 CAPLUS <<LOGINID::20061130>>
DN 138:313981
TI Molecular mechanisms of resistance to human immunodeficiency virus type 1 with reverse transcriptase mutations K65R and K65R + M184V and their effects on enzyme function and viral replication capacity
AU White, Kirsten L.; Margot, Nicolas A.; Wrin, Terri; Petropoulos, Christos J.; Miller, Michael D.; Naeger, Lisa K.
CS Gilead Sciences, Foster City, CA, 94404, USA
SO Antimicrobial Agents and Chemotherapy (2002), 46(11), 3437-3446
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
TI Dioxolane guanosine, the active form of the prodrug diaminopurine dioxolane, is a potent inhibitor of drug-resistant HIV-1 isolates from patients for whom standard nucleoside therapy fails
AB Amdoxovir ([-]-β-D-2,6-diaminopurine dioxolane [DAPD]) is a nucleoside reverse transcriptase inhibitor (NRTI) with activity against HIV-1. DAPD is deaminated in vivo by adenosine deaminase to (-)-β-D- dioxolane guanosine (DXG), a highly active anti-HIV compound The median 50% effective concns. (EC50) ± SD (representing antiviral activity against a laboratory-derived HIV-1 isolate) for DAPD and DXG in peripheral blood mononuclear cells were 4.0±2.2 μmol/L and 0.25±0.17 μmol/L, resp. The 50% cytotoxic dose (CC50) of both DAPD and DXG was >500 μmol/L. Recombinant viruses and clin. isolates of HIV-1 from patients for whom NRTI therapy and/or nonnucleoside reverse transcriptase inhibitor (NNRTI) combination therapies failed remained susceptible to inhibition by DXG (less than fourfold change in EC50). Similar anal. showed that recombinant viruses harboring mutations known to confer resistance to NRTIs (zidovudine, lamivudine, and abacavir) and NNRTIs (efavirenz and nevirapine) as well as the multidrug resistance-associated mutation Q151M and double codon insertions (SS and SG) were also susceptible to inhibition by DXG. Resistance to DXG was observed only in recombinant isolates containing the 65R and 151M double mutations. Phenotypic anal. of a site-directed mutant containing only the 151M mutation demonstrated moderate resistance to DXG (<10-fold change in EC50). We also examined site-directed mutants containing only L74V or K65R, the characteristic resistance mutations for DXG. The L74V mutant remained susceptible to inhibition by DXG, and the K65R mutant demonstrated moderate resistance to DXG.

AN 2002:128778 CAPLUS <<LOGINID::20061130>>
DN 137:195021
TI Dioxolane guanosine, the active form of the prodrug diaminopurine dioxolane, is a potent inhibitor of drug-resistant HIV-1 isolates from patients for whom standard nucleoside therapy fails
AU Mewshaw, Jennifer P.; Myrick, Florence T.; Wakefield, Debby A. C. S.; Hooper, Brandi J.; Harris, Jeanette L.; McCreedy, Bruce; Borroto-Esoda, Katyna

CS Triangle Pharmaceuticals, Durham, NC, 27707, USA
SO JAIDS, Journal of Acquired Immune Deficiency Syndromes (2002), 29(1), 11-20
CODEN: JJASFJ
PB Lippincott Williams & Wilkins
DT Journal
LA English
RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
TI In vitro selection of mutations in the human immunodeficiency virus type 1 reverse transcriptase that decrease susceptibility to (-)-β-D- dioxolane-guanosine and suppress resistance to 3'-azido-3'-deoxythymidine
AB Human immunodeficiency virus type 1 (HIV-1) isolates resistant to (-)-β-D- dioxolane -guanosine (DXG), a potent and selective nucleoside analog HIV-1 reverse transcriptase (RT) inhibitor, were selected by serial passage of HIV-1LAI in increasing drug concns. (maximum concentration, 30 μM). Two independent selection expts. were performed. Viral isolates for which the DXG median effective concns. (EC50s) increased 7.3- and 12.2-fold were isolated after 13 and 14 passages, resp. Cloning and DNA sequencing of the RT region from the first resistant isolate identified a K65R mutation (AAA to AGA) in 10 of 10 clones. The role of this mutation in DXG resistance was confirmed by site-specific mutagenesis of HIV -1LAI. The K65R mutation also conferred greater than threefold cross-resistance to 2',3'-dideoxycytidine, 2',3'-dideoxyinosine, 2',3'-dideoxy-3'-thiacytidine, 9-(2-phosphonylmethoxyethyl)adenine, 2-amino-6-chloropurine dioxolane, dioxolanyl-5-fluorocytosine, and diaminopurine dioxolane but had only marginal effects on 3'-azido-3'-deoxthymidine (AZT) susceptibility. However, when introduced into a genetic background for AZT resistance (D67N, K70R, T215Y, T219Q), the K65R mutation reversed the AZT resistance. DNA sequencing of RT clones derived from the second resistant isolate identified the L74V mutation, previously reported to cause ddI resistance. The L74V mutation also decreased the AZT resistance when the mutation was introduced into a genetic background for AZT resistance (D67N, K70R, T215Y, T219Q) but to a lesser degree than the K65R mutation did. These findings indicate that DXG and certain 2',3'-dideoxy compds. (e.g., ddI) can select for the same resistance mutations and thus may not be optimal for use in combination. However, the combination of AZT with DXG or its orally bioavailable prodrug (-)-β-D-2,6-diaminopurine- dioxolane should be explored because of the suppressive effects of the K65R and L74V mutations on AZT resistance.
AN 2000:446712 CAPLUS <<LOGINID::20061130>>
DN 133:190361
TI In vitro selection of mutations in the human immunodeficiency virus type 1 reverse transcriptase that decrease susceptibility to (-)-β-D- dioxolane-guanosine and suppress resistance to 3'-azido-3'-deoxythymidine
AU Bazmi, Holly Z.; Hammond, Jennifer L.; Cavalcanti, Socrates C. H.; Chu, Chung K.; Schinazi, Raymond F.; Mellors, John W.
CS Department of Infectious Diseases and Microbiology, Graduate School of Public Health, University of Pittsburgh, Pittsburgh, PA, 15261, USA
SO Antimicrobial Agents and Chemotherapy (2000), 44(7), 1783-1788
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006


=> s (XXBRU or K65R or M184V or L74V or 4Xazt or t215y or k103n or t215y/m184v or
(5705-72) or (488-101) or (C910-6) or la1m184v or (G910-6)) and (HIV or (Human
immunodeficiency virus))
'M184V' IS NOT A VALID FIELD CODE
            13 XXBRU
            37 K65R
            72 M184V
            46 L74V
             8 4XAZT
            63 T215Y
           339 K103N
             0 T215Y/M184V
         1707 5705
      1284822 72
             1 5705-72
                 (5705(W)72)
        80214 488
       646858 101
            15 488-101
                 (488(W)101)
            15 C910
      4359550 6
             1 C910-6
                 (C910(W)6)
             1 LA1M184V
            66 G910
      4359550 6
            32 G910-6
                 (G910(W)6)
        46453 HIV
       532883 HUMAN
        26207 IMMUNODEFICIENCY
       108041 VIRUS
        18657 HUMAN IMMUNODEFICIENCY VIRUS
                 (HUMAN(W)IMMUNODEFICIENCY(W)VIRUS)
L25          426 (XXBRU OR K65R OR M184V OR L74V OR 4XAZT OR T215Y OR K103N OR
                 T215Y/M184V OR (5705-72) OR (488-101) OR (C910-6) OR LA1M184V
                 OR (G910-6)) AND (HIV OR (HUMAN IMMUNODEFICIENCY VIRUS))

=> s l25 and L3
            25 L3
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L26 3 L25 AND L3

=> d l26 1-3 ti abs bib

L26 ANSWER 1 OF 3 USPATFULL on STN
TI Dioxolane thymine and combinations for use against 3tc/azt resistant strains of hiv
AB The present invention relates to the use of a dioxolane thymine compound according to the chemical structure of Formula (I): where R.sup.1 is H, an acyl group, a C.sub.1-C.sub.20 alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group, for use in the treatment of HIV infections which exhibit resistance to 3TC and/or AZT. Preferably, compounds according to the present invention are combined with at least one anti-HIV agent which inhibits HIV by a mechanism other than through the inhibition of thymidine kinase (TK). These agents include those selected from among nucleoside reverse transcriptase inhibitors (NRTI), non-nucloeoside reverse transcriptase inhibitors, protease inhibitors, fusion inhibitors, among others. These agents are generally selected from the group consisting of 3TC (Lamivudine), AZT (Zidovudine), (-)-FTC, ddI (Didanosine), ddC (zalcitabine), abacavir (ABC), tenofovir (PMPA), D-D4FC (Reverset), D4T (Stavudine), Racivir, L-D4FC, NVP (Nevirapine), DLV (Delavirdine), EFV (Efavirenz), SQVM (Saquinavir mesylate), RTV (Ritonavir), IDV (Indinavir), SQV (Saquinavir), NFV (Nelfinavir), APV (Amprenavir), LPV (Lopinavir), fuseon and mixtures thereof. The TK dependent agents, such as AZT and D4T, may be used in combination with one of the dioloxane thymine compounds according to the present invention, but the use of such agents may be less preferred. In preferred compositions according to the present invention, R.sup.1 is preferably H or a C.sub.2-C.sub.18 acyl group or a monophosphate group. Pharmaceutical compositions and methods of reducing the likelihood that a patient at risk for contract an HIV infection will contract the infection are other aspects of the present invention. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2005:241191 USPATFULL <<LOGINID::20061130>>
TI Dioxolane thymine and combinations for use against 3tc/azt resistant strains of hiv
IN Chu, Chung K., Athens, GA, UNITED STATES
Schinazi, Raymond F., Atlanta, GA, UNITED STATES
PI US 2005209196 A1 20050922
AI US 2003-530088 A1 20031208 (10)
WO 2003-US39029 20031208
20050401 PCT 371 date
PRAI US 2002-431812P 20021209 (60)
DT Utility
FS APPLICATION
LREP COLEMAN SUDOL SAPONE, P.C., 714 COLORADO AVENUE, BRIDGE PORT, CT, 06605-1601, US
CLMN Number of Claims: 44
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 873
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 2 OF 3 USPATFULL on STN
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds
AB The present invention relates to a method of preparing the antiviral compounds 2'-deoxy-5-fluoro-3'thiacytidine (FTC) and various prodrug analogues of FTC from inexpensive precursors with the option of introducing functionality as needed; methods of using these compounds, particularly in the prevention and treatment of AIDS; and the compounds themselves. This synthetic route allows the stereoselective preparation

of the biologically active isomer of these compounds and related compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 97:120747 USPATFULL <<LOGINID::20061130>>
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds
IN Liotta, Dennis C., McDonough, GA, United States
Schinazi, Raymond F., Decatur, GA, United States
Choi, Woo-Baeg, North Brunswick, NJ, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
PI US 5700937 19971223
AI US 1995-481556 19950607 (8)
RLI Continuation-in-part of Ser. No. US 1995-402730, filed on 15 Mar 1995 which is a continuation of Ser, No. US 1993-92248, filed on 15 Jul 1993, now abandoned which is a continuation of Ser. No. US 1991-736089, filed on 26 Jul 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-659760, filed on 22 Feb 1991, now patented, Pat. No. US 5210085 which is a continuation-in-part of Ser. No. US 1990-473318, filed on 1 Feb 1990, now patented, Pat. No. US 5204466
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Wong, King Lit
LREP King & Spalding
CLMN Number of Claims: 2
ECL Exemplary Claim: 1
DRWN 8 Drawing Figure(s); 7 Drawing Page(s)
LN.CNT 1517
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L26 ANSWER 3 OF 3 USPATFULL on STN
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds
AB The present invention relates to a method of preparing the antiviral compounds 2'-deoxy-5-fluoro-3'thiacytidine (FTC) and various prodrug analogues of FTC from inexpensive precursors with the option of introducing functionality as needed; methods of using these compounds, particularly in the prevention and treatment of AIDS; and the compounds themselves. This synthetic route allows the stereoselective preparation of the biologically active isomer of these compounds and related compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 93:37719 USPATFULL <<LOGINID::20061130>>
TI Method for the synthesis, compositions and use of 2'-deoxy-5-fluoro-3'-thiacytidine and related compounds
IN Liotta, Dennis C., Stone Mountain, GA, United States
Schinazi, Raymond F., Decatur, GA, United States
Choi, Woo-Baeg, North Brunswick, NJ, United States
PA Emory University, Atlanta, GA, United States (U.S. corporation)
PI US 5210085 19930511
AI US 1991-659760 19910222 (7)
RLI Continuation-in-part of Ser. No. US 1990-473318, filed on 1 Feb 1990
DT Utility
FS Granted
EXNAM Primary Examiner: Tsang, Cecilia
LREP Kilpatrick & Cody
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN 8 Drawing Figure(s); 7 Drawing Page(s)
LN.CNT 1571
CAS INDEXING IS AVAILABLE FOR THIS PATENT.